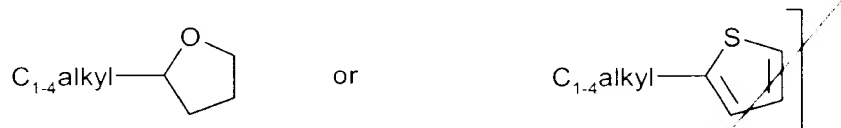


**AMENDMENT UNDER 37 CFR § 1.111**  
**U.S. Appl. No. 09/368,670**

(alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C<sub>1-6</sub> alkyl [such as



and R<sub>11b</sub> is C<sub>1-6</sub> alkyl substituted with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl; or C<sub>7-16</sub> aralkyl substituted on the aromatic portion with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

or R<sub>11a</sub> and R<sub>11b</sub> are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C<sub>1-6</sub> alkoxy) carbonyl;

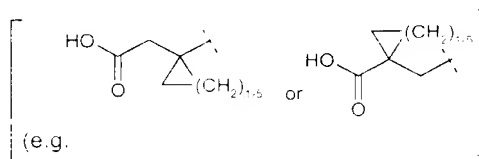
or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, [then] and

B is II, an acyl derivative of formula R<sub>11</sub>-C(O)- or a sulfonyl of formula R<sub>11</sub>-SO<sub>2</sub> wherein

R<sub>11</sub> is (i) C<sub>1-10</sub> alkyl optionally substituted with carboxyl[,], or C<sub>1-6</sub> alkanoyloxy [(e.g. AcOCH<sub>2</sub>-),] ; C<sub>1-6</sub> alkoxy [(e.g. Boc),] ; or carboxyl substituted with 1 to 3 C<sub>1-6</sub> alkyl substituents;

(ii) C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> alkylcycloalkyl, both optionally substituted with carboxyl



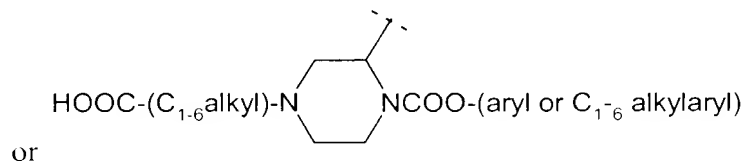
(C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

(iii) C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, or amino optionally substituted with C<sub>1-6</sub> alkyl; or

(iv) Het optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, amino optionally substituted with

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C<sub>1-6</sub> alkyl, or amido optionally substituted with C<sub>1-6</sub> alkyl,



R<sub>6</sub>, when present, is C<sub>1-6</sub> alkyl substituted with carboxyl;

R<sub>5</sub>, when present, is C<sub>1-6</sub> alkyl optionally substituted with carboxyl;

[or] and

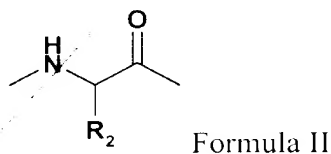
c) when Q is either CH<sub>2</sub> or N-Y, then

R<sub>4</sub> is C<sub>1-10</sub> alkyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkylcycloalkyl);

z is oxo or thioxo;

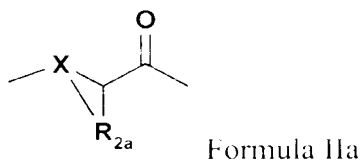
R<sub>3</sub> is C<sub>1-10</sub> alkyl optionally substituted with carboxyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkylcycloalkyl);

W is a group of formula II:



wherein R<sub>2</sub> is C<sub>1-10</sub> alkyl or C<sub>3-10</sub> cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl; or

W is a group of formula IIa:



wherein X is CH or N; and

R<sub>2a</sub> is divalent C<sub>3-4</sub> alkylene which together with X and the carbon atom to which X and R<sub>2a</sub> are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH<sub>2</sub>;

carboxyl; R<sub>12</sub>; CH<sub>2</sub>-R<sub>12</sub>; OR<sub>12</sub>; C(O)OR<sub>12</sub>; SR<sub>12</sub>; NHR<sub>12</sub> or NR<sub>12</sub>R<sub>12a</sub>;

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wherein  $R_{12}$  and  $R_{12a}$  are independently a saturated or unsaturated  $C_{3-7}$  cycloalkyl or  $C_{4-10}$  (alkyl cycloalkyl) being optionally mono-, di- or tri-substituted with  $R_{15}$ ,  
or  $R_{12}$  and  $R_{12a}$  is a  $C_6$  or  $C_{10}$  aryl or  $C_{7-16}$  aralkyl optionally mono-, di- or tri-substituted with  $R_{15}$ , or  $R_{12}$  and  $R_{12a}$  is Het or (lower alkyl)-Het optionally mono-, di- or tri-substituted with  $R_{15}$ ,

wherein each  $R_{15}$  is independently  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino optionally mono- or di-substituted with  $C_{1-6}$  alkyl; sulfonyl;  $NO_2$ ; OH; SH; halo; haloalkyl; amido optionally mono-substituted with  $C_{1-6}$  alkyl,  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl);  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with  $R_{16}$ ;

wherein  $R_{16}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino optionally mono- or di-substituted with  $C_{1-6}$  alkyl; sulfonyl;  $NO_2$ ; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

or X is CH or N; and  $R_{2a}$  is a divalent  $C_{3-4}$  alkylene which together with X and the carbon atom to which X and  $R_{2a}$  are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with  $OR_{12a}$  wherein  $R_{12a}$  is  $C_{7-16}$  aralkyl;

$R_{1a}$  is hydrogen, and  $R_4$  is [ $C_{1-6}$  alkyl optionally substituted with thiol or halo; or  $R_1$  is  $C_{2-6}$  alkenyl] the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or

$R_{1a}$  and  $R_1$  together form a 3- to 6-membered ring optionally substituted with  $R_{14}$  wherein  $R_{14}$  is  $C_{1-6}$  alkyl,  $C_{3-5}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_6$  aryl or  $C_{7-10}$  aralkyl all optionally substituted with halo; and

A is hydroxy or a [N-substituted amino] pharmaceutically acceptable salt of ester thereof; or  $C_{1-6}$  alkylamino, di( $C_{1-6}$  alkyl)amino or phenyl- $C_{1-6}$  alkylamino;

or a pharmaceutically acceptable salt or ester thereof.

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In claim 2, line 2, delete "preferably".

In claim 4, line 1, delete "4" and insert --3--.

In claim 23, line 4 (page 153, line 1), delete "preferably";

line 8, delete "R<sub>13</sub>, wherein".

Please cancel claim 29.

30. (Amended) The compound of formula I according to claim [29] 1, wherein R<sub>1a</sub> is hydrogen and R<sub>1</sub> is the side chain of the amino acid selected from the group consisting of: cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva), or allylglycine (AlGly).

In claim 33, line 2, delete "preferably".

Please cancel claim 36.

In claim 37, line 1, delete "36" and insert --1--.

In claim 41, line 1, delete "preferably";

line 2, delete "preferably".

65. (Amended) [Most preferably,] The compound of formula IB according to claim 64,  
wherein R<sub>14</sub> is ethyl, vinyl or bromovinyl.

In claim 68, line 2, delete "preferably" and delete "C<sup>3-6</sup>" and insert --C<sub>3-6</sub>--;

line 5, delete "preferably".

Please cancel claims 93-95, without prejudice.

Please add the following new claims 100-102:

-- 100. The compound of formula I according to claim 1, wherein R<sub>11a</sub> is